NEWS 35 AUG 18

NEWS 36 AUG 18

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Simultaneous left and right truncation added to PASCAL

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT

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MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

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=> fil reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 2.10 2.10

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:38:11 ON 18 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 15 AUG 2003 HIGHEST RN 567484-39-3 DICTIONARY FILE UPDATES: 15 AUG 2003 HIGHEST RN 567484-39-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

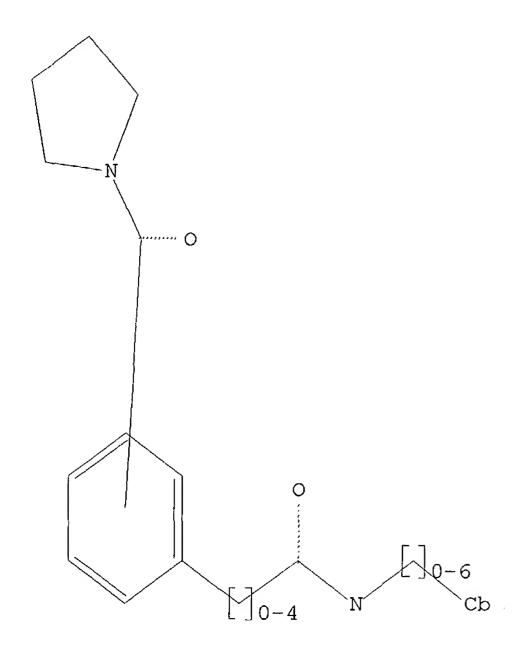
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 10050376.str

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STE



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 12:38:27 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 16548 TO ITERATE

6.0% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE**

PROJECTED ITERATIONS: 323266 TO 338654 0 TO 0 PROJECTED ANSWERS:

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 12:38:30 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 328733 TO ITERATE

100.0% PROCESSED 328733 ITERATIONS 177 ANSWERS SEARCH TIME: 00.00.26

L3 177 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 148.15 150.25

FILE 'CAPLUS' ENTERED AT 12:39:02 ON 18 AUG 2003

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FILE COVERS 1907 - 18 Aug 2003 VOL 139 ISS 8 FILE LAST UPDATED: 17 Aug 2003 (20030817/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 23 L3

=> d ibib abs hitstr 1-23

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L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 2003:376819 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER: 138:385173

Preparation of N,N'-substituted-1,3-diamino-2-TITLE: hydroxypropanes for treating Alzheimer's disease
Varghese, John; Maillard, Michel; Jagodzinska,
Barbara; Beck, James P.; Gailunas, Andrea; Fang,
Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John;
Mickelson, John; Samala, Lakshman; Hom, Roy,
Blan, Blan, Barbara, Lakshman; Hom, Roy,
Blan, Blan, Barbara, Lakshman; INVENTOR(s):

Elan Pharmaceuticals, Inc., USA: Pharmacia & Upjohn PATENT ASSIGNEE(S):

Company

PCT Int. Appl., 1243 pp. SOURCE:

CODEN: PIXXDS DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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WO	2003040096			Α	2	2003	0515		W	0 20	02-U	20021108					
	W:					ΑT,											CN,
						DE,											
		GM,	HR,	HU,	ID,	IL,	IN,	15,	JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
						SD,											
		UA,	ŪĠ,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,
		TJ,	TM														
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	BG,
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	ΝĹ,
		PT,	SE,	SK,	TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML,	MR,
				TD,													
WO	2003040096		A2 2003			0515		WO 2002-XA3607					20021108				
	W:	AE,	AG,	AL,	AM,	AΤ,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DΕ,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	ΤZ,
		, AU	UG,	us,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	ΒY,	KG,	ΚZ,	MD,	RU,
		ТJ,	TM														
	RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	ΒG,
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,
		PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,
				TD,	TG												
TIRC	Y APP	LN.	info	.:		US 2001-337122P P 20011108											

PRIO US 2001-344086P P 20011228

US 2002-345635P P 20020103 WO 2002-US36072 A 20021108

OTHER SOURCE(S):

MARPAT 138:385173

L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.

388066-92-0 CAPLUS 2-Pyrrolidinecarboxamide, 1-[3-[[[(1s,2R)-1-[(3,5-difluorophenyl)methyl]-3-[((3-ethylphenyl)methyl]amino]-2-hydroxypropyl]amino]carbonyl]-5methylbenzoyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

388067-16-1 CAPLUS 2-Pyrrolidinecarboxamide, 1-[3-[[((15,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]amino]carbonyl]-5-methylbenzoyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

The title compds. [I; R1 = (un) substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un) substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un) substituted CH2; R6 = (un) substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of .beta-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepd. E.g., a multi-step synthesis of (15,2R)-II, starting from (25)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 .mu.M in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of

1-2 series. 388066-79-3P 388066-92-0P 388067-16-1P 527714-28-9F 527714-81-4P 527714-85-8P 527716-76-3P 527717-66-4P 527726-64-3P 527727-13-5P 527727-30-6P 527728-42-3P 527728-43-4F 527728-70-7P 527728-83-2P 527728-97-8P 527728-98-9P 527729-08-4P

527729-09-5P 527729-12-0P 527730-27-4P 527732-52-1P 528116-70-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of N.N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

388066-79-3 CAPLUS

Benzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(3icdophenyl) methyl] amino]propyl] -4-hydroxy-3-(1-pyrrolidinylcarbonyl)-(9CI) (CA INDEX NAME)

ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

527714-28-9 CAPLUS Acetic acid, 2-ethyl-1-{2-hydroxy-3-{[3-hydroxy-4-(1pyrrolidinylcarbonyl)benzoyl}amino]-4-phenylbutyl]hydrazide (9CI) (CA

527714-81-4 CAPLUS Benzoic acid, 3-ethyl-, 2-ethyl-2-[2-hydroxy-3-[[3-hydroxy-4-(1pyrrolidinylcarbonyl)benzoyl]amino]-4-phenylbutyl]hydrazide (9CI) (CA INDEX NAME)

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L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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(Continued)

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527714-85-8 CAPLUS

Pentanoic acid, 4-methyl-, 2-ethyl-2-[2-hydroxy-3-[[3-hydroxy-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-4-phenylbutyl)hydrazide (9CI) (CA INDEX NAME)

ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

527726-64-3 CAPLUS

Benzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-3-methyl-5-[(2-propyl-1-pyrrolidinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

527727-13-5 CAPLUS

Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-3-[[(2R,5R)-2,5-dimethyl-1-pyrrolidinyl]carbonyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

527716-76-3 CAPLUS
Benzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

527717-66-4 CAPLUS

Benzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-3-[[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

527727-30-6 CAPLUS
Benzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-(2-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

527728-42-3 CAPLUS

Benzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(3-(1-methylethyl)phenyl]methyl]amino]propyl]-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

527728-43-4 CAPLUS
Benzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethynylphenyl)methyl]amino]-2-hydroxypropyl]-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl}-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

527728-70-7 CAPLUS Benzamide, N-[(1s, 2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-3-[(ethylmethylamino)sulfonyl]-5-[(2s)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX

Absolute stereochemistry.

L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

527728~98-9 CAPLUS Benzamide, N-{(15,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethynylphenyl)cyclopropyl]amino]-2-hydroxypropyl]-3-[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methyl-, mono(trifluoroacetate)(salt) (9CI) (CA INDEX NAME)

CM 1

CRN 527728-97-8 CMF C36 H39 F2 N3 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1

CMF C2 H F3 02

527729-08-4 CAPLUS RN Fenzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-3-[[(1,1-dimethylethyl)amino]sulfonyl]-5-[[(2S)-2-(methoxymethyl)-1L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

527728-83-2 CAPLUS Benzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-3-[[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]sulfonyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

527728-97-8 CAPLUS Benzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethynylphenyl)cyclopropyl]amino]-2-hydroxypropyl]-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

527729-09-8 CAPLUS Benzamide, 3-[[(2S)-2-butyl-1-pyrrolidinyl]carbonyl]-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[{(3-ethylphenyl)methyl)amino]-2-hydroxypropyl]-5methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

527729-12-0 CAPLUS Benzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-3-[((3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-3-methyl-5-[[(2R)-2-(propoxymethyl)-1-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

527730-27-4 CAPLUS Formic acid, compd. with N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-3-methyl-5-[[(2S)-2-propyl-1-pyrrolidinyl]carbonyl]benzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 527730-26-3 CMF C35 H43 F2 N3 O3

Absolute stereochemistry.

CM

CRN 64-18-6 CMF C H2 O2

О== СН− ОН

527732-52-1 CAPLUS

ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER:

2002:794312 CAPLUS

DOCUMENT NUMBER: 137:310704 TITLE:

Preparation of N-[(pyrrolidinocarbonyl)phenyl]amidinop henylacetamides and analogs as antithrombotics INVENTOR(S): Ries, Uwe Joerg; Priepke, Henning; Nar, Herbert;

Stassen, Jean-marie; Wienen, Wolfgang

PATENT ASSIGNEE(S): Germany SOURCE: U.S. Pat. Appl. Publ., 31 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 2002151534 20021017 US 2002-50376 DE 10104597 20020808 A1 DE 2001-10104597 20010202 DE 2001-10136435 20010726 DE 10136435 A1 20030213 PRIORITY APPLN. INFO.: DE 2001-10104597 A 20010202 US 2001-268569P P 20010215 DE 2001-10136435 A 20010726

OTHER SOURCE(S): MARPAT 137:310704

 $(CH_2)_{m}^ (CO)_{n}^ NR_4$ -- A

The title compds., [e.g. (I); wherein m = 0, 1, 2; n = 0, 1; A = (C1-C3) alkylene, a bond, etc.; R1 = amino, (C1-C5) alkylamino, (C3-C7) cycloalkylamino, phenyl (C1-C3) alkylamino, etc.; R2 = H, F, C1, Br, etc.; R3 = H, (C1-C3) alkyl; R4 = H, (C1-C3) alkyl; Ar = substituted Ph, naphthyl] were prepd. Thus, N-(5-carbamimidoyl-2-hydroxybenzyl)-3-chloro-4-(pyrrolidin-1-ylcarbonyl) benzamide hydrochloride was prepd. by a multistep synthesis. The prepd. compds. are useful as antithrombotic agents. Data for biol, activity of title compds. were given. 445256-53-1P 446025-38-3P

445256-53-1P 446025-38-3P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and analogs as antithrombotics)

445256-53-1 CAPLUS

Benzeneacetic acid, 3-(aminoiminomethyl)-.alpha.-[[3-methyl-4-(1pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 445256-32-6

ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Contine Benzamide, N-[(1s, 2r)-1-[(3, 5-difluorophenyl)methyl]-3-[(3ethylphenyl)methyl]amino]-2-hydroxypropyl]-3-[[(25)-2-ethyl-1-pyrrolidinyl]carbonyl}-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

528116-70-3 CAPLUS

Benzamide, N-[(1s,2R)-1-{(3,5-difluorophenyl)methyl]-3-[[1-(3-ethylphenyl)cyclopropyl]amino]-2-hydroxypropyl]-3-[[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]carbonyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) CMF C24 H28 N4 O4

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CRN 64-19-7 CMF C2 H4 02

CM 2

HO-C-CH3

446025-38-3 CAPLUS

Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-methyl-4-(1pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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__/

• HCl

IT 445256-14-4P 445256-20-2P 445256-36-0P 445256-38-2P 445256-41-7P 445256-42-8P 445256-47-3P 446025-30-5P 446025-31-6P 446025-37-2P 446025-39-4P 446025-41-8P 446025-43-0P 446025-44-1P 446025-45-2P 446025-46-3P 446025-47-4P 446025-48-5P 446025-53-2P 446025-53-2P 446025-53-2P 446025-55-4P 446025-55-4P 446025-59-8P 446025-57-6P 446025-58-7P 446025-65-6P 446025-63-4P 446025-61-2P 446025-65-6P 446025-63-4P 446025-67-8P 446025-68-9P 446025-69-0P 446025-73-6P 446025-71-4P 446025-72-5P 446025-73-6P 446025-71-4P 446025-72-5P 446025-88-3P

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

$$\binom{N}{l}$$

• HCl

RN 445256-20-2 CAPLUS
CN Benzamide, N-[[5-(aminomethyl)-2-hydroxyphenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 445256-36-0 CAPLUS
CN Benzeneacetic acid, 3-(aminoiminomethyl)-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX

RN 445256-14-4 CAPLUS
CN Benzamide, N-[{5-(aminoiminomethyl)-2-hydroxyphenyl]methyl}-3-methyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

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L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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• HCl

RN 445256-38-2 CAPLUS
CN Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-2,5-dimethyl-4(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

(Continued)

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PAGE 2-A

$$\stackrel{\mid}{\bigcirc}$$

HCl

RN 445256-41-7 CAPLUS
CN Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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445256-42-8 CAPLUS

Benzamide, N-{[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-2,5-dimethyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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445256-47-3 CAPLUS Benzeneacetic acid, 3-(aminoiminomethyl)-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

RN 446025-30-5 CAPLUS
CN Benzamide, N-[[3-(aminoiminomethyl)phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

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• HCl

- 446025-31-6 CAPLUS
 Benzamide, N-[{5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)-, monohydrochloride (9CI) (CAINDEX NAME)

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$$\binom{N}{l}$$

• HCl

446025-39-4 CAPLUS Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-chloro-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME) L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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HCl

RN 446025-37-2 CAPLUS
CN Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-3-chloro-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

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HCl

446025-41-8 CAPLUS
Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-bromo-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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• HCl

RN 446025-43-0 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethynyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI)
(CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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● HC1

RN 446025-44-1 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI)
(CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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● HCl

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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• HCl

RN 446025-45-2 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[{3-ethenyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

RN 446025-46-3 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

(Continued)

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RN 446025-47-4 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)benzoyl]amino]-,
monohydrochloride (9CI) (CA INDEX NAME)

• HCl

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CH-CH₂-CO₂H

NH

CH-CH₂-CO₂H

NH

C=0

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N

HC1

RN 446025-50-9 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethynyl-4-{1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

NH

C-NH2

CH-CH2-CO2H

NH

C=0

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N

HC1

RN 446025-48-5 CAPLUS
CN Benzenepropanoic acid, 3-{aminoiminomethyl}-.beta.-[[3-chloro-4-(1-pyrrolidinylcarbonyl]benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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RN 446025-51-0 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-([3-ethyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

 $^{\rm L4}$ $\,$ ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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• HCl

446025-52-1 CAPLUS Glycine, 3-[3-(aminoiminomethyl)phenyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]-.beta.-alanyl-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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$$\langle \stackrel{\mathsf{n}}{\downarrow} \rangle$$

• HCl

446025-54-3 CAPLUS Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethenyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME) L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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● HCl

446025-53-2 CAPLUS Glycine, 3-[3-(aminoiminomethyl)phenyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]-.beta.-alanyl-N-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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HCl

446025-55-4 CAPLUS Benzenepropanamide, 3-(aminoiminomethyl)-N,N-dimethyl-.beta.-[(3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA

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$$\langle N \rangle$$

• HCl

RN 446025-56-5 CAPLUS
CN Benzamide, N-{1-[3-(aminoiminomethyl)phenyl}-2-oxo-2-(1-pyrrolidinyl)ethyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)-,
monchydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

● HC1

RN 446025-58-7 CAPLUS
CN Benzeneacetamide, 3-(aminoiminomethyl)-N-ethyl-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

N 446025-57-6 CAPLUS
N Benzeneacetamide, 3-(aminoiminomethyl)-N,N-dimethyl-.alpha.-[{3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A
NH
||
C-NH2

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued

RN 446025-59-8 CAPLUS
CN Benzenepropanamide, 3-(aminoiminomethyl)-N-ethyl-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

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RN 446025-60-1 CAPLUS
CN Glycine, 3-[3-(aminoiminomethyl)phenyl]-N-[3-methyl-4-(1pyrrolidinylcarbonyl)benzoyl]-.beta.-alanyl-N-propyl-, ethyl ester,
monohydrochloride (9CI) (CA INDEX NAME)

HC1

• HCl

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$$\langle \stackrel{\mathsf{I}}{\rangle}$$

• HCl

RN 446025-61-2 CAPLUS
CN Benzamide, N-[1-[5-(aminoiminomethyl)-2-hydroxyphenyl]ethyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

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• HCl

RN 446025-62-3 CAPLUS
CN Benzamide, N-{1-[5-(aminoiminomethyl)-2-hydroxyphenyl]ethyl]-3-bromo-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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$$\binom{N}{N}$$

• HCl

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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$$\bigcup_{N}$$

• HCl

RN 446025-63-4 CAPLUS
CN Benzamide, N-[1-[5-(aminoiminomethyl)-2-hydroxyphenyl]ethyl]-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 446025-64-5 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

NH || C-NH2 CH-CH2-C-OEt NH C=O

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RN 446025-65-6 CAPLUS
CN Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-4-(1pyrrolidinylcarbonyl)-3-(trifluoromethoxy)-, monohydrochloride (9CI) (CA
INDEX NAME)

HCl

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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HO C-NH2

C-NH2

O-CF3

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• HCl

RN 446025-66-7 CAPLUS
CN Benzenepropanoic acid, 5-(aminoiminomethyl)-2-hydroxy-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl]benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

HO CH-CH2-CO2H
NH
NH
NH
O

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N 446025-67-8 CAPLUS
N Benzenepropanoic acid, 3-[(benzoylamino)iminomethyl)-.beta.-{[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

● HCl

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

NH O | C-NH-C-Ph

446025-68-9 CAPLUS

CN Benzenepropanoic acid, 3-[[[(hexyloxy)carbonyl]amino]iminomethyl]-.beta.~
[[3-methyl-4-(1-pyrrolidinylcarbonyl]benzoyl]amino]-, ethyl ester,
monohydrochloride (9CI) (CA INDEX NAME)

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● HCl

RN 446025-69-0 CAPLUS
CN Benzenepropanoic acid, 3-[(benzoylamino)iminomethyl]-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, propyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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RN 446025-70-3 CAPLUS
CN Benzenepropanoic acid, 3-[imino[[(2,2,2-trichloroethoxy)carbonyl]amino]met hyl]-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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RN 446025-71-4 CAPLUS
CN Carbamic acid, [[4-hydroxy-3-[[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]methyl]phenyl]iminomethyl]-, hexyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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RN 446025-72-5 CAPLUS
CN Benzamide, N-[[5-[(benzoylamino)iminomethyl]-2-hydroxyphenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

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446025-73-6 CAPLUS
Benzamide, N-[[2-hydroxy-5-[(hydroxyamino)iminomethyl]phenyl]methyl]-3methyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX
NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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HC1

RN 446025-77-0 CAPLUS
CN Benzamide, N-[[3-(aminoiminomethyl)phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

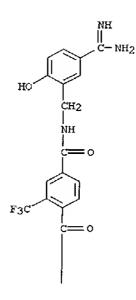
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446025-78-1 CAPLUS Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME) L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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RN 446025-88-3 CAPLUS Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-3-chloro-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

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RN 446025-90-7 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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NH C-NH2 CH-CH2-C-OEt NH C==0

RN 446025-91-8 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-chloro-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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RN 446025-93-0 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-bromo-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

NH | C-NH2 | C-NH2 | CH-CH2-C-OEt | NH | CH-CH2-C-OET | CH2-C-OET | CH2-

RN 446025-95-2 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethynyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

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 $\langle \rangle$

RN 446025-96-3 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

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RN 446025-97-4 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethenyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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RN 446025-98-5 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

NH || |C-NH2

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 $\left\langle \begin{array}{c} \\ \\ \end{array} \right\rangle$

RN 446025-99-6 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[{4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

(Continued)

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N

RN 446026-00-2 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-chloro-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

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 $\langle n \rangle$

N 446026-03-5 CAPLUS
N Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

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 $\langle \stackrel{\mathsf{N}}{\rangle}$

RN 446026-02-4 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethynyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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 $\langle N \rangle$

RN 446026-04-6 CAPLUS
CN Glycine, 3-[3-(aminoiminomethyl)phenyl]-N-[3-methyl-4-(1pyrrolidinylcarbonyl)benzoyl]-.beta.-alanyl-N-methyl- (9CI) (CA INDEX NAME)

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446026-05-7 CAPLUS
Glycine, 3-[3-(aminoiminomethyl)phenyl]-N-(3-methyl-4-(1pyrrolidinylcarbonyl)benzoyl]-.beta.-alanyl-N-propyl- (9CI) (CA INDEX
NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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RN 446026-06-8 CAPLUS Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethenyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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$$\begin{array}{c} NH \\ C-NH_2 \\ CH-CH_2-CO_2H \\ NH \\ C=0 \end{array}$$

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446026-07-9 CAPLUS Benzenepropanamide, 3-(aminoiminomethyl)-N,N-dimethyl-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME) L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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446026-08-0 CAPLUS Benzamide, N-[1-[3-(aminoiminomethyl)phenyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 446026-09-1 CAPLUS
CN Benzeneacetamide, 3-{aminoiminomethyl}-N,N-dimethyl-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino}- (9CI) (CA INDEX NAME)

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 L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 446026-10-4 CAPLUS
CN Benzeneacetamide, 3-(aminoiminomethyl)-N-ethyl-.alpha.-[{3-methyl-4-(1-pyrrolidinylcarbonyl}benzoyl]amino]- (9CI) (CA INDEX NAME)

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RN 446026-11-5 CAPLUS
CN Benzenepropanamide, 3-(aminoiminomethyl)-N-ethyl-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 446026-13-7 CAPLUS
CN Benzamide, N-[1-[5-(aminoiminomethy1)-2-hydroxyphenyl]ethyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

(Continued)

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446026-14-8 CAPLUS

Benzamide, N-[1-[5-(aminoiminomethyl)-2-hydroxyphenyl]ethyl]-3-bromo-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

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RN 446026-15-9 CAPLUS
CN Benzamide, N-[1-[5-(aminoiminomethyl)-2-hydroxyphenyl]ethyl]-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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446026-16-0 CAPLUS
Benzenepropanoic acid, 3-{aminoiminomethyl}-.beta.-[[4-{1-pyrrolidinylcarbonyl}-3-(trifluoromethyl)benzoyl}amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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RN 446026-17-1 CAPLUS
CN Benzamide, N-[[5-(aminoiminomethy1)-2-hydroxypheny1]methy1]-4-(1-pyrrolidinylcarbony1)-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

(Continued)

PAGE 1-A

HO CH2

CH2

NH

CH2

O-CF3

PAGE 2-A

 $\langle \stackrel{\mathsf{N}}{\rangle}$

RN 446026-18-2 CAPLUS CN Benzenepropanoic acid, 5-(aminoiminomethyl)-2-hydroxy-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME) L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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NH | C-NH2 | C

PAGE 2-A

RN 446026-19-3 CAPLUS
CN Benzenepropanoic acid, 3-[[[(hexyloxy)carbonyl]amino]iminomethyl]-.beta.[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI)
(CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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\(\frac{n}{n}\)

446026-20-6 CAPLUS

Benzamide, N-[[2-hydroxy-5-[(hydroxyamino)iminomethyl]phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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(Continued)

HO CH2
NH
CH2
NH
CH2
O
NH
O
CH2
O

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 $\langle \stackrel{\mathsf{N}}{\rangle}$

RN 471868-50-5 CAPLUS
CN Carbonic acid, 4-[(benzoylamino)iminomethyl]-2-[[(3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]methyl]phenyl ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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HCl

471869-06-4 CAPLUS Benzenepropanamide, 3-(aminomethyl)-N-ethyl-.beta.-{[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN 446026-30-8P 446026-35-3P 446026-37-5P 446026-39-7P 446026-40-0P 446026-42-2P (Continued) RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and

analogs as antithrombotics)

445256-18-8 CAPLUS

Benzamide, N-[[5-cyano-2-(phenylmethoxy)phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

445256-19-9 CAPLUS
Benzamide, N-[[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]methyl]-3methyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

471869-69-9

RL: RCT (Reactant): RACT (Reactant or reagent)
(prepn. of N-[(pyrrolidinocarbonyl)phenyl]amidinophenylacetamides and
analogs as antithrombotics)
471869-69-9 CAPLUS

Benzamide, N-[{5-cyano-2-(phenylmethoxy)phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

445256-18-8P 445256-19-9P 446026-21-7P 446026-26-2P 446026-27-3P 446026-28-4P

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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$$\langle \stackrel{N}{\rangle}$$

HC1

446026-21-7 CAPLUS
Benzeneacetic acid, 3-cyano-alpha.-[[3-methyl-4-(1pyrrolidinylcarbonyl)benzoyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

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L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 446026-26-2 CAPLUS
CN Benzamide, 3-chloro-N-[[5-cyano-2-(phenylmethoxy)phenyl]methyl]-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 446026-27-3 CAPLUS
CN Benzenepropanoic acid, 3-cyano-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 446026-35-3 CAPLUS
CN Benzenepropanoic acid, 3-cyano-.beta.-[[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 446026-37-5 CAPLUS
CN Benzamide, N-[[5-cyano-2-(phenylmethoxy)phenyl]methyl]-4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 446026-28-4 CAPLUS
CN Benzenepropanamide, 3-cyano-N,N-dimethyl-.beta.-[{3-methyl-4-(1-pyrrolidinylcarbonyl}benzoyl]amino]- (9CI) (CA INDEX NAME)

RN 446026-30-8 CAPLUS
CN Benzamide, N-[1-[5-cyano-2-(phenylmethoxy)phenyl]ethyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 446026-39-7 CAPLUS
CN Benzenepropanoic acid, 5-cyano-2-hydroxy-.beta.-[{3-methyl-4-(1-pyrrolidinylcarbonyl}benzoyl]amino]- (9CI) (CA INDEX NAME)

RN 446026-40-0 CAPLUS
CN Benzenepropanoic acid, 5-(aminoiminomethyl)-2-hydroxy-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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HC1

446026-42-2 CAPLUS Benzamide, N-[[5-[(hydroxyamino)iminomethyl]-2-(phenylmethoxy)phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 2002:615593 CAPLUS DOCUMENT NUMBER: 137:169323 TITLE: Preparation of benzamidomethylbenzamidines and analogs as factor Xa inhibitors INVENTOR(S): Ries, Uwe Joerg; Priepke, Henning; Nar, Herbert; Stassen, Jean-Marie; Wienen, Wolfgang PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany PCT Int. Appl., 108 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3 PATENT INFORMATION:

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7	WO	2002062778			A2		20020815			WO 2002-EP823 20020126										
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			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,		
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			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,		
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			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,		
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
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PRIORITY APPLN. INFO.:										DE 2	001-	1010	4597	A	2001	2020				
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MARPAT 137:169323 OTHER SOURCE(S):

Title compds., e.g., R1Z1Z2Z3NHZR [I; R = Z4R4; R1 = cycloalkylamino, cycloalkylaminocarbonyl, 2,5-dihydropyrrolocarbonyl, etc.; R4 = (alkoxycarbonyl)amidino, C(:NH)NHCCH2CF3, or C(:NH)NHBz and Z4 = 2-hydroxy- or 2-alkoxycarbonyl-1,5-phenylane or R4 = (di)alkylamino, alkoxycarbonylamidino, or C(:NH)NHBz and Z4 = (2-hydroxy)-1,3-phenylane; Z = (un)substituted CH2; Z1 = (un)substituted CH2; Z1 = (un)substituted CH2; Z1 = (un)substituted CH2; Z1 = (un)substituted CH2; Z3 = bond or CO) CH2: 23 = bond or CO] were prepd. Thus, 4-pyrrolidinocarbonyl-3-methylbenzoic acid was amidated by 3-aminomethyl-4-benzyloxybenzonitrile (prepn. each given) to give, in 3 addnl. steps, title compd. II. Data for biol. activity of I were given.

445256-14-4P 445256-20-2P 445256-32-6P 445256-36-0P 445256-38-2P 445256-41-7P 445256-42-8P 445256-47-3P 446025-30-5P 446025-31-6P 446025-32-7P 446025-33-8P 446025-37-2P 446025-38-3P 446025-39-4P 446025-41-8P 446025-43-0P 446025-44-1P L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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Benzamide, N-[[5-(aminoiminomethy1)-2-hydroxypheny1]methy1]-3-methy1-4-(1-

pyrrolidinylcarbonyl) -, monohydrochloride (9CI) (CA INDEX NAME)

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CN

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#Cl

445256-20-2 CAPLUS

Benzamide, N-[[5-(aminomethyl)-2-hydroxyphenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

445256-32-6 CAPLUS
Benzeneacetic acid, 3-(aminoiminomethyl)-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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445256-38-2 CAPLUS
Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-2,5-dimethyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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445256-36-0 CAPLUS
Benzeneacetic acid, 3-(aminoiminomethyl)-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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HCl

445256-41-7 CAPLUS
Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl}-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

(Continued)

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N

RN 445256-42-8 CAPLUS
CN Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-2,5-dimethyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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 $\langle \stackrel{\mathsf{N}}{\rangle}$

RN 445256-47-3 CAPLUS
CN Benzeneacetic acid, 3-(aminoiminomethyl)-.alpha.-[(3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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RN 446025-30-5 CAPLUS
CN Benzamide, N-[[3-(aminoiminomethyl)phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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 $\langle \rangle$

• HCl

RN 446025-31-6 CAPLUS
CN Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

(Continued)

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● HCI

RN 446025-32-7 CAPLUS
CN Benzeneacetamide, 3-(aminomethyl)-N-ethyl-,alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
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 \bigvee_{N}

• HCl

- RN 446025-38-3 CAPLUS
- N Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 446025-33-8 CAPLUS
CN Benzenepropanamide, 3-{aminomethyl}-N-ethyl-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

- RN 446025-37-2 CAPLUS
 CN Benzamide, N-{[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-3-chloro-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)
- L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

NH | C-NH2 | CH-CH2-C-OEt | NH | C=0

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● HCI

(Continued)

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• HCl

446025-41-8 CAPLUS
Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-bromo-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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HC1

RN 446025-43-0 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethynyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI)
(CA INDEX NAME)

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• HCl

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HCl

446025-44-1 CAPLUS

Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[(3-ethyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME) CN

446025-45-2 CAPLUS
Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethenyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

NH || |C-NH2 | | | | | | | | | | |

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• HCl

RN 446025-46-3 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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● HCl

RN 446025-47-4 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[4-{1pyrrolidinylcarbonyl)-3-{trifluoromethyl)benzoyl]amino]-,
monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CH-CH2-CO2H
NH

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N

HC1

N 446025-48-5 CAPLUS
N Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-chloro-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

NH || C-NH₂ CH-CH₂-CO₂H NH C=0

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RN 446025-50-9 CAPLUS
CN Benzenepropanoic acid, 3-{aminoiminomethyl}-.beta.-[[3-ethynyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

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HCl

446025-51-0 CAPLUS Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME) L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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(Continued)

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• HCl

446025-52-1 CAPLUS Glycine, 3-{3-(aminoiminomethyl)phenyl]-N-[3-methyl-4-{1-pyrrolidinylcarbonyl)benzoyl]-.beta.-alanyl-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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● HCl

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446025-53-2 CAPLUS
Glycine, 3-[3-(aminoiminomethyl)phenyl]-N-[3-methyl-4-(1pyrrolidinylcarbonyl)benzoyl}-.beta.-alanyl-N-propyl-, monohydrochloride
(9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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HC1

446025-54-3 CAPLUS pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

(Continued)

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HCl

446025-55-4 CAPLUS Benzenepropanamide, 3-(aminoiminomethyl)-N,N-dimethyl-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

446025-57-6 CAPLUS
Benzeneacetamide, 3-(aminoiminomethyl)-N,N-dimethyl-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CAINDEX NAME)

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L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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● HCl

446025-56-5 CAPLUS
Benzamide, N-[1-[3-(aminoiminomethyl)phenyl]-2-0x0-2-(1-pyrrolidinyl)ethyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)-,
monohydrochloride (9CI) (CA INDEX NAME)

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● HCl

446025-58-7 CAPLUS Benzeneacetamide, 3-(aminoiminomethyl)-N-ethyl-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

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(Continued)

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♣ HC1

446025-59-8 CAPLUS
Benzenepropanamide, 3-(aminoiminomethyl)-N-ethyl-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA INDEX

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) NAME)

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NH

C-NH2

CH-CH2-C-NHEt

NH

C=0

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RN 446025-60-1 CAPLUS
CN Glycine, 3-[3-(aminoiminomethyl)phenyl]-N-[3-methyl-4-(1pyrrolidinylcarbonyl)benzoyl]-.beta.-alanyl-N-propyl-, ethyl ester,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

NH || C-NH2

CH-Me

NH

C=0

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N

HC1

RN 446025-62-3 CAPLUS
CN Benzamide, N-[1-[5-(aminoiminomethyl)-2-hydroxyphenyl]ethyl]-3-bromo-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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NH

C-NH2

CH2-C-OEt

CH-CH2-C-N-Pr-n

NH

Me

C=0

PAGE 2-A

● HCl

446025-61-2 CAPLUS
Benzamide, N-[1-[5-(aminoiminomethyl)-2-hydroxyphenyl]ethyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)-, monchydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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NH

CH-Me

NH

C=0

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RN 446025-63-4 CAPLUS
CN Benzamide, N-[1-[5-(aminoiminomethyl)-2-hydroxyphenyl]ethyl]-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

(Continued)

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● HCl

446025-64-5 CAPLUS Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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CH-CH2-C-OEt

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● HCl

446025-65-6 CAPLUS
Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethoxy)-, monohydrochloride (9CI) (CAINDEX NAME)

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• HCl

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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#Cl

446025-66-7 CAPLUS
Benzenepropanoic acid, 5-{aminoiminomethyl}-2-hydroxy-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, monohydrochloride (9CI) (CA

446025-67-8 CAPLUS
Benzenepropanoic acid, 3-[(benzoylamino)iminomethyl]-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

(Continued)

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 $\langle \stackrel{\mathsf{N}}{\rangle}$

RN 446025-68-9 CAPLUS
CN Benzenepropanoic acid, 3-{[[(hexyloxy)carbonyl]amino}iminomethyl]-.beta.[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester,
monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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N,

RN 446025-70-3 CAPLUS
CN Benzenepropanoic acid, 3-[imino[{(2,2,2-trichloroethoxy)carbonyl]amino]methyl]-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethylester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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 $\langle \stackrel{\mathsf{N}}{\rangle}$

HCl

RN 446025-69-0 CAPLUS
CN Benzenepropanoic acid, 3-[(benzoylamino)iminomethyl]-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, propyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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(N

RN 446025-71-4 CAPLUS
CN Carbamic acid, [[4-hydroxy-3-[[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]methyl]phenyl]iminomethyl]-, hexyl ester (9CI) (CA INDEX NAME)

(Continued)

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446025-72-5 CAPLUS
Benzamide, N-[[5-[{benzoylamino}iminomethyl]-2-hydroxyphenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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446025-73-6 CAPLUS
Benzamide, N-[[2-hydroxy-5-[(hydroxyamino)iminomethyl]phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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HC1

446025-74-7 CAPLUS Carbonic acid, 4-[(benzoylamino)iminomethyl]-2-[[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]methyl]phenyl ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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446025-77-0 CAPLUS

Benzamide, N-[[3-(aminoiminomethyl)phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

(Continued)

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446025-78-1 CAPLUS
Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

446025-89-3 CAPLUS
Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-3-chloro-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

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L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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446025-79-2 CAPLUS

Benzeneacetamide, 3-(aminomethyl)-N-ethyl-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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446025-90-7 CAPLUS

Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino}-, ethyl ester (9CI) (CA INDEX NAME)

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RN 446025-91-8 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-chloro-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

(Continued)

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446025-93-0 CAPLUS

Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-bromo-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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RN 446025-95-2 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[(3-ethynyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9C1) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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446025-96-3 CAPLUS Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME) L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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PAGE 2-A

RN 446025-97-4 CAPLUS

Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethenyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

RN 446025-98-5 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A

RN 446026-00-2 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-chloro-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

RN 446025-99-6 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[{4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)benzoyl]amino}- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

NH C-NH2 CH-CH2-CO2H NH C=0

PAGE 2-A

RN 446026-02-4 CAPLUS
CN Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethynyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

(Continued)

PAGE 1-A

PAGE 2-A

446026-03-5 CAPLUS
Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

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(Continued)

PAGE 2-A

446026-04-6 CAPLUS
Glycine, 3-[3-(aminoiminomethyl)phenyl}-N-[3-methyl-4-(1pyrrolidinylcarbonyl)benzoyl]-.beta.-alanyl-N-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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PAGE 2-A

Glycine, 3-{3-(aminoiminomethyl)phenyl]-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]-.beta.-alanyl-N-propyl- (9CI) (CA INDEX NAME) 446026-05-7 CAPLUS

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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PAGE 2-A

446026-06-8 CAPLUS Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[3-ethenyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME) L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

$$\begin{array}{c} NH \\ | \\ | \\ C-NH_2 \\ \\ CH-CH_2-CO_2H \\ | \\ NH \\ | \\ C=0 \\ \end{array}$$

PAGE 2-A

N.

RN 446026-07-9 CAPLUS
CN Benzenepropanamide, 3-(aminoiminomethyl)-N,N-dimethyl-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 446026-09-1 CAPLUS

CN Benzeneacetamide, 3~(aminoiminomethyl)-N,N-dimethyl-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

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 $\langle \stackrel{N}{\rangle}$

RN 446026-08-0 CAPLUS
CN Benzamide, N-[1-[3-(aminoiminomethyl)phenyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A

446026-10-4 CAPLUS

Benzeneacetamide, 3-(aminoiminomethyl)-N-ethyl-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 446026-11-5 CAPLUS
CN Benzenepropanamide, 3-(aminoiminomethyl)-N-ethyl-.beta.-[(3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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RN 446026-12-6 CAPLUS
CN Glycine, 3-{3-(aminoiminomethyl)phenyl}-N-[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]-.beta.-alanyl-N-propyl-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A

RN 446026-13-7 CAPLUS
CN Benzamide, N-[1-[5-(aminoiminomethyl)-2-hydroxyphenyl]ethyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

HO CH-Me
NH
NH
NH
CONH2

PAGE 2-A

RN 446026-14-8 CAPLUS
CN Benzamide, N-[1-[5-(aminoiminomethyl)-2-hydroxyphenyl]ethyl]-3-bromo-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

HO CH-Me

CH-Me

NH

CH-Me

NH

CH-Me

PAGE 1-A

PAGE 2-A

RN 446026-15-9 CAPLUS
CN Benzamide, N-[1-[5-(aminoiminomethyl)-2-hydroxyphenyl]ethyl]-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

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PAGE 2-A

446026-16-0 CAPLUS
Benzenepropanoic acid, 3-(aminoiminomethyl)-.beta.-[[4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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PAGE 1-A

RN 446026-17-1 CAPLUS
CN Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl}-4-{1pyrrolidinylcarbonyl)-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

446026-18-2 CAPLUS

PAGE 2-A

Benzenepropanoic acid, 5-(aminoiminomethyl)-2-hydroxy-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

СH-СH2-СО2H

PAGE 2-A

446026-19-3 CAPLUS
Benzenepropanoic acid, 3-[[[(hexyloxy)carbonyl]amino]iminomethyl]-.beta.[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI)
(CA INDEX NAME)

PAGE 1-A

PAGE 2-A

446026-20-6 CAPLUS
Benzamide, N-[[2-hydroxy-5-[(hydroxyamino)iminomethyl]phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

445256-19-9 CAPLUS
Benzamide, N-[[5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]methyl]-3methyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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IT 445256-18-8P 445256-19-9P 445256-53-1P 446026-21-7P 446026-26-2P 446026-27-3P 446026-28-4P 446026-30-8P 446026-35-3P 446026-37-5P 446026-39-7P 446026-40-0P 446026-42-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(prepn. of benzamidomethylbenzamidines and analogs as factor Xa inhibitors)

445256-18-8 CAPLUS
Benzamide, N-[[5-cyano-2-{phenylmethoxy}phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A

HC1

445256-53-1 CAPLUS Benzeneacetic acid, 3-(aminoiminomethyl)-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monoacetate (9CI) (CA

CM 1

CRN 445256-32-6 CMF C24 H28 N4 O4

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L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) CM 2

CRN 64-19-7 CMF C2 H4 O2

но-с-сн₃

RN 446026-21-7 CAPLUS

CN Benzeneacetic acid, 3-cyano-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

CN $CH - C - O - CH_2 - Ph$ NH C = O N

RN 446026-26-2 CAPLUS
CN Benzamide, 3-chloro-N-[[5-cyano-2-(phenylmethoxy)phenyl]methyl]-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 446026-30-8 CAPLUS
CN Benzamide, N-[1-[5-cyano-2-(phenylmethoxy)phenyl]ethyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 446026-35-3 CAPLUS
CN Benzenepropanoic acid, 3-cyano-.beta.-[{4-(1-pyrrolidinylcarbonyl)-3-(trifluoromethyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 446026-27-3 CAPLUS
CN Benzenepropanoic acid, 3-cyano-.beta.-[{3-methyl-4-(1-pyrrolidinylcarbonyl}benzoyl]amino]- (9CI) (CA INDEX NAME)

RN 446026-28-4 CAPLUS
CN Benzenepropanamide, 3-cyano-N,N-dimethyl-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 446026-37-5 CAPLUS
CN Benzamide, N-[[5-cyano-2-(phenylmethoxy)phenyl]methyl]-4-(1pyrrolidinylcarbonyl)-3-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 446026-39-7 CAPLUS
CN Benzenepropanoic acid, 5-cyano-2-hydroxy-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

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L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 446026-40-0 CAPLUS
CN Benzenepropanoic acid, 5-(aminoiminomethyl)-2-hydroxy-.beta.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AN 446026-42-2 CAPLUS

No Benzamide, N-[[5-[(hydroxyamino)iminomethyl]-2(phenylmethoxy)phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI)
(CA INDEX NAME)

PAGE 1-A

NH
HO-NH-C
CH2-Ph
CH2
NH
CH2
NH
PAGE 2-A

L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 2002:591535 CAPLUS DOCUMENT NUMBER: 137:154846 TITLE: Preparation of phenylamines or phenylamides as anticoagulants INVENTOR (S): Ries, Uwe; Priepke, Henning; Nar, Herbert; Stassen, Jean Marie; Wienen, Wolfgang Boehringer Ingelheim Pharma K .- G., Germany PATENT ASSIGNEE(S): Ger. Offen., 28 pp. SOURCE: CODEN: GWXXBX DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT: 3 PATENT INFORMATION:

	PAT	ENT :	NO.		KI	ND	DATE							٥.	DATE			
	DE	1010	4597		A	1	2002	0808				01-1		 597	2001	0202		
	US	2002	1515	34	A	1	2002	1017		U	S 20	02-5	0376		2002	0116		
	WO	2002	0627	78	A	2	2002	0815		W	0 20	02-E	P823		2002	0126		
		W:	AE,	AG.	AL.	AM.	AT,	AU.	AZ.	BA.	BB,	BG,	BR.	BY,	BZ,	CA,	CH.	CN.
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		RW:	-	-	•	•	•		•	•	•	•	•	•	ZW,	-		CH.
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			-			•						•			NE,	-	•	•
PRIC	ORITY	APP				,	,								2001		•	
															2001			
															2001			
															2001			
OTH	ER SO	URCE	(S):			MAR	PAT	137:1			- ·							

$$R^2$$
(CH₂) m - (CO) n - NR⁴ - A - R⁵

AB Title compds. [I; m = 0-2; n = 0, 1; A = bond, (substituted) unbranched C1-3 alkylene; R1 = amino, alkylamino, cycloalkylamino, etc.; R2 = H, F, C1, Br, fluorinated alkyl, etc.; R3 = H, alkyl; R4 = H, (substituted) alkyl; R5 = substituted Ph, naphthyl] and salts thereof were prepd. Thus, a mixt. of 3-methyl-4-(pyrrolidin-yl)carbonylbenzylamine (prepn. given), glacial AcoH, and Na[BH3CN] in MeOH was stirred with 3-formyl-4-hydroxybenzonitrile for 2 h at room temp. to give 32% N-(5-cyanc-2-hydroxybenzyl)-3-methyl-4-(pyrrolidin-1-ylcarbonyl)benzylamine which was stirred with HC1 and (NH4)2CO3 in EtOH for ca. 5 h at room temp. to give 98% N-(5-amidino-2-hydroxybenzyl)-3-methyl-4-(pyrrolidin-1-ylcarbonyl)benzylamine hydrochloride. The latter inhibited factor Xa with IC50 = 0.014 .mu.M.

IT 445256-32-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

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L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of phenylamines or phenylamides as anticoagulants) 445256-32-6 CAPLUS

Benzeneacetic acid, 3-(aminoiminomethyl)-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

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445256-14-4P 445256-20-2P 445256-36-0P 445256-38-2P 445256-41-7P 445256-42-8P 445256-47-3P 445256-53-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenylamines or phenylamides as anticoagulants)
445256-14-4 CAPLUS
Benzamide, N-[[5-{aminoiminomethyl}-2-hydroxyphenyl]methyl]-3-methyl-4-(1pyrrolidinylcarbonyl) -, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

445256-36-0 CAPLUS

Benzeneacetic acid, 3-(aminoiminomethyl)-.alpha.-[[3-methyl-4-(1pyrrolidinylcarbonyl)benzoyl]amino]-, monchydrochloride (9CI) (CA INDEX NAME)

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L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

> PAGE 1-A PAGE 2-A

HC1

445256-20-2 CAPLUS Benzamide, N-[[5-(aminomethyl)-2-hydroxyphenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

445256-38-2 CAPLUS

Benzamide, N-[[5-(aminoiminomethy1)-2-hydroxypheny1]methy1]-2,5-dimethy1-4-(1-pyrrolidinylcarbonyl) -, monohydrochloride (9CI) (CA INDEX NAME)

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● HCl

445256-41-7 CAPLUS Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-3-methyl-4-(1pyrrolidinylcarbonyl) - (9CI) (CA INDEX NAME)

(Continued)

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445256-42-8 CAPLUS Benzamide, N-[[5-(aminoiminomethyl)-2-hydroxyphenyl]methyl]-2,5-dimethyl-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME) L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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445256-47-3 CAPLUS
Benzeneacetic acid, 3-(aminoiminomethyl)-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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445256-53-1 CAPLUS Benzeneacetic acid, 3-(aminoiminomethyl)-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 445256-32-6 CMF C24 H28 N4 O4 L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued) PAGE 1-A

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CM 2 CRN 64-19-7 CMF C2 H4 Q2

но-с-снз

IT 445256-18-8P 445256-19-9P 445256-35-9P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenylamines or phenylamides as anticoagulants) RN 445256-18-8 CAPLUS CN Benzamide, N-[[5-cyano-2-(phenylmethoxy)phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

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L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 445256-19-9 CAPLUS
CN Benzamide, N-{(5-(aminoiminomethyl)-2-(phenylmethoxy)phenyl]methyl]-3-methyl-4-(1-pyrrolidinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX

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ACCESSION NUMBER: 2002:31402 CAPLUS
DOCUMENT NUMBER: 136:102190
TITLE: Preparation of substituted amines to treat Alzheimer's disease
INVENTOR(S): Maillaird, Michel: Hom, Court: Gailunas, Andrea: Jagodzinska, Barbara: Fang, Lawrence Y.; John,

ANSWER 5 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

Varghese; Freskos, John N.; Pulley, Shon R.; Beck, James P.; Tenbrink, Ruth E.

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn

SOURCE: Company PCT Int. Appl., 651 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Ratent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2002002512 A2 20020110 WO 2001-US21012 20010629 W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 2002128255 A1 20020912 US 2001-896139 BR 2001012000 20030603 BR 2001-12000 NO 2002006199 Α 20030221 NO 2002-6199 20021223 PRIORITY APPLN. INFO.: US 2000-215323P P 20000630 US 2000-252736P P 20001122 US 2000-255956P P 20001215 US 2001-268497P P 20010213 US 2001-279779P P 20010329 US 2001-295589P P 20010604 WO 2001-US21012 W 20010629

OTHER SOURCE(S): MARPAT 136:102190

L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 445256-35-9 CAPLUS
CN Benzeneacetic acid, 3-cyano-.alpha.-[[3-methyl-4-(1-pyrrolidinylcarbonyl)benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

HC1

L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

The title compds. [I; R1 = (un) substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un) substituted alkyl, alkenyl, etc.; R3 = H, (un) substituted alkyl, alkenyl, etc.; R4 = XR; X = C0, S02, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un) substituted alkyl, (CH2)0-3cycloalkyl, etc.], useful in treating Alzheimer's disease and other similar diseases, were prepd. Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl) amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II. The compds. I exhibit an IC50 of < 50 .mu.M against beta-secretase.

IT 388066-79-3P 388066-92-0P 388067-16-1P 388069-73-6P 388069-77-0P 388069-80-5P 388069-84-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted amines for treating Alzheimer's disease) 388066-79-3 CAPLUS

CN Benzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(3-iodophenyl)methyl]amino]propyl]-4-hydroxy-3-(1-pyrrolidinylcarbonyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

388066-92-0 CAPLUS 2-Pyrrolidinecarboxamide, 1-[3-[[(1s,2R)-1-{(3,5-difluorophenyl)methyl}-3-[{(3-ethylphenyl)methyl}amino]-2-hydroxypropyl]amino]carbonyl]-5-methylbenzoyl]-, (2s)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

388067-16-1 CAPLUS 2-Pyrrolidinecarboxamide, 1-[3-[[[(1s,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]amino]carbonyl]-5-methylbenzoyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

388069-80-5 CAPLUS

Benzamide, N-[(15,2R)-1-((3,5-difluorophenyl)methyl]-3-[[1-(3-ethylphenyl)-1-methylethyl]amino]-2-hydroxypropyl]-4-hydroxy-3-(1-pyrrolidinylcarbonyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

388069-84-9 CAPLUS Benzamide, N-[(15,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-CN ethylphenyl) cyclopropyl) amino] -2-hydroxypropyl) -4-hydroxy-3-(1-pyrrolidinylcarbonyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

14 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

388069-73-6 CAPLUS
Benzamide, N-[(15,2R)-1-{(3,5-difluorophenyl)methyl}-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-4-hydroxy-3-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

388069-77-0 CAPLUS

Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]propyl]-4-hydroxy-3-(1-pyrrolidinylcarbonyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

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L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:833867 CAPLUS

DOCUMENT NUMBER: 135:357774 TITLE:

Preparation of phthalic acid diamides as agricultural

and horticultural insecticides

Tohnishi, Masanori; Nakao, Hayami; Kohno, Eiji; INVENTOR (5): Nishida, Tateki; Furuya, Takashi, Shimizu, Toshiaki; Seo, Akira; Sakata, Kazuyuki; Fujioka, Shinsuke;

PATENT ASSIGNEE(S): Japan SOURCE:

U.S. Pat. Appl. Publ., 114 pp., Cont.-in-part of U.S. Ser. No. 198,391, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

IMIBIT INFORMATION.			
PATENT NO.	KIND	DATE	APPLICATION NO. DATE
US 2001041814	A1	20011115	US 1999-250261 19990216
US 6362369 US 2003055287	B2 A1	20020326 20030320	US 2002-35132 20020104
US 6559341 PRIORITY APPLN. INFO.	B2	20030506	JP 1997-339393 A 19971125
			JP 1998-51351 A 19980217 US 1998-198391 B2 19981124
			us 1999-250261 A3 19990216

MARPAT 135:357774 OTHER SOURCE(5):

The title compds. [I; R1-R3 = H, CN, cycloalkyl, etc.; X = H, CN, NO2, etc.; n = 1-4; Y = H, halo, CN, etc.; m = 1-5; Z1, Z2 = 0, S] which show excellent activities for controlling injurious insects, were prepd. Thus, reaction of 3-nitro-2-ethoxycarbonylbenzoyl chloride with 4-chloro-2-methylaniline in the presence of Et3N in THF followed by treatment of the resulting Et 6-nitro-N-(4-chloro-2methylphenyl)phthalamate with isopropylamine in dioxane afforded I [R1 = iso-Pr; R2 = R3 = H; X = 3-NO2; Y = 2-Me-4-Cl; Z1 = Z2 = O] which showed excellent insecticidal effect (100% mortality) against diamondback moth and common cutworm. The fluorine-contg. anilines II [R10 = halo, alkyl, alkoxy, CF3; R20, R30, R40 = H or perfluoroalkyl; provided that at least one of R20-R40 is not H atom. and that R30 is neither a pertafluoroalbyl. one of R20-R40 is not H atom, and that R30 is neither a pentafluoroethyl nor a n-heptafluoropentyl when R10 = F and each of R20 and R40 = H], useful as a starting material for said phthalic acid diamides were also

ANSWER 6 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

226971-91-1 CAPLUS Benzamide, 3-iodo-N-[2-methyl-4-(pentafluoroethyl)phenyl]-2-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

ANSWER 6 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

prepd. 226968-04-3P 226968-05-4P 226968-06-5P

226971-91-1P RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phthalic acid diamides as agricultural and horticultural insecticides)

226968-04-3 CAPLUS Benzamide, 2-(1-pyrrolidinylcarbonyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Benzamide, N-(5-chloro-2-methylphenyl)-2-mitro-6-(1-pyrrolidinylcarbonyl)-(9CI) (CA INDEX NAME)

226968-06-5 CAPLUS Benzamide, N-[4-(difluoromethoxy)-2-methylpheny1]-2-nitro-6-(1-pyrrolidinylcarbony1)- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:713292 CAPLUS

DOCUMENT NUMBER: 135:272754

Preparation of insecticidal anthranilamides TITLE: Lahm, George P.; Myers, Brian J.; Selby, Thomas P.;

INVENTOR(S): Stevenson, Thomas M.

E.I. Du Pont de Nemours and Company, USA PATENT ASSIGNEE (S):

PCT Int. Appl., 211 pp. CODEN: PIXXD2 SOURCE:

Patent

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC, NUM. COUNT:

PATENT INFORMATION:																		
PA:	PATENT NO.					KIND DATE												
						~												
WO	WO 2001070671			A	2 20010927				WO 2001-US9338						20010320			
WO	2001	0706	71	A	3	2002	0214		AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN									
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA	, BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	
		HR.	HU,	ID.	IL,	IN,	IS,	JP,	ΚE	, KG,	KP,	XR,	ΚZ,	LC,	LK,	LR,	LS,	
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	
		RU,	SD.	SE.	SG,	SI,	SK,	SL,	TJ	, TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	
		VN.	YU.	ZA.	ZW,	AM.	AZ,	BY,	KG	, Kz,	MD,	RU,	TJ,	TM				
	RW:	GH.	GM.	KE.	LS,	MW,	MZ,	SD,	SL	, SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
		DE.	DK.	ES.	FI,	FR.	GB.	GR,	ΙE	, IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
TEP.	1265						GN, GW, ML, MR, NE, SN, EP 2001-924277											
2,1										, GR,						MC,	PT,	
		IR.	ST.	LT.	LV.	FI.	RO.	MK.	CY	, AL,	TR	•	•		-	-		
RR	2001	110, 1107	57	,	,	2003	0204	,	-	BR 20	01-9	757		2001	0320			
PRIORIT	V ADD	TM	INFO						US	2000-	1912	42P	P	2000	0322			
INJUNII	ı mı	DIV.	11,10	• •					US	2000-	2202	32P	Þ	2000	0724			
									115	2000-	2546	35P	P	2000	1211			
									uc	2001-	2620	15P	p	2001	0117			
									TIO.	2001-	11203	38	w	2001	0320			
							_		70	2001	0000							

MARPAT 135:272754 OTHER SOURCE(S):

The title compds. [I; A, B = 0, 5; J = substituted Ph, naphthyl, (un)substituted 5-6 membered heteroarom., arom. 8-10 membered fused heterobicyclic ring; n = 1-4; R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, alkoxy, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl, halo, etc.], useful for controlling arthropods, were prepd. E.g., a multi-step

Page 56 08/18/2003

L4 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) synthesis of II which showed excellent level of plant protection (10% or less feeding damage) in test with diamondback moth (DBM), was given. 362635-67-4P 362635-68-5P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of insecticidal anthranilamides) 362635-67-4 CAPLUS

RN

Benzamide, 3-methyl-N-(1-methylethyl)-2-[[2-(1-pyrrolidinylcarbonyl)-4-

(trifluoromethyl)benzoyl]amino] - (9CI) (CA INDEX NAME)

362635-68-5 CAPLUS Benzamide, 3-methyl-N-(1-methylethyl)-2-[[2-(1-pyrrolidinylcarbonyl)-5-(trifluoromethyl)benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 2001:208239 CAPLUS DOCUMENT NUMBER: 134:252153 TITLE: Preparation of benzamides as inhibitors of factor Xa Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Eric; Li, Wenhao; Zuckett, Jingmei; INVENTOR (5): Song, Yonghong; Scarborough, Robert PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA SOURCE: PCT Int. Appl., 224 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PA	CENT :	No.		KI	KIND DATE			A	PPLI	CATI	on n	٥,	DATE				
															~			
	WO	2001019788			A2 20		2001	0010322			WO 2000-US25196				20000915			
	WO	2001019788			A	A3 20010809												
		W:	AE.	AG,	AL.	AM.	AT,	AU,	AZ.	BA,	BB.	BG.	BR.	BY.	BZ,	CA.	CH.	CN.
			CR.	cu.	CZ.	DE.	DK.	DM.	DZ.	EE.	ES.	FI.	GB.	GD.	GE,	GH.	GM.	HD.
			HU.	ID.	TT.	IN.	IS.	JP.	KE.	KG.	KP.	KB.	K2	LC	LK,	T.D	1.5	тΨ
			T.II.	TM.	MΔ	MD.	MG.	MK.	MN	MU	MY,	M7	NO.	N7	PL,	יינת	DO,	DII,
			SD,	er,	86	CT.	SV.	ST.	T.T	TIM,	TIA,	and the state of t	m7	117	IIC,	F1,	AU,	RU,
														UM,	ΨG,	04,	VN,	YU,
		DIT.					BY,											
		RW:	Gn,	GM,	KE,	LS,	mw,	MZ,	ΣD,	ъь,	52,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	ΝL,	PT,	SE,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GA,	GN,	G₩,	ML,	MR,	ΝE,	SN,	TD,	TG			
	EP	1216																
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
							FI,											•
	BR	20000	01401	76	A		2002	1015		B	R 20	00-14	1076		20000915			
		2003																
	NO	20020	00122	29	А		2002	0521		N	0 20	02-1	229		2002	3312		
PRIOR															19990			
					•										20000			
											-000-i				20000			
OTHER	SC	URCE	(5):			MAR	PAT :	134:2			000-1	3323.	. 90	₩	20000	1313		

L4 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:457084 CAPLUS

DOCUMENT NUMBER: 138:122298

Recognition-induced control and acceleration of a pyrrole Diels-Alder reaction. [Erratum to document TITLE:

cited in CA135:5288]

Bennes, R.; Babiloni, M. S.; Hayes, W.; Philp, D. School of Chemistry, University of Birmingham, Edgbaston, Birmingham, B15 2TT, UK
Tetrahedron Letters (2001), 42(27), 4595
CODEN: TELEAY: ISSN: 0040-4039 AUTHOR (\$): CORPORATE SOURCE:

SOURCE:

PUBLISHER: Elsevier Science Ltd. DOCUMENT TYPE: Journal

LANGUAGE: English

Figure 1 appeared in place of Figure 2 on page 2378; the correct version

of Figure 2 is given. 341991-10-4P

RL: SPN (Synthetic preparation): PREP (Preparation)

(hydrogen bonding recognition-induced control and acceleration of pyrrole Diels-Alder reaction (Erratum))

341991-10-4 CAPLUS 4,7-Imino-2H-isoindole-2-propanoic acid, 1,3,3a,4,7,7a-hexahydro-8-[3-[[methyl(3-methylphenyl)amino]carbonyl]benzoyl]-1,3-dioxo-, methyl ester, (3aR,4R,7s,7as)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 9 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

The title compds. AQDEGJX [A = alkyl, cycloalkyl, (un) substituted Ph, etc.; Q = a direct link, CH2, CO, etc.; D = a direct link, (un) substituted Ph, naphthyl, etc.; E = a direct link, O, alkyl, etc.; G = alkenylene, cycloalkenylene, phenylene, etc.; J=a direct link, O, S, etc.; X=a (un)substituted Ph, naphthyl, heteroaryl, etc.) having activity against mammalian factor Xa (no data), and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepd. E.g., a 4-step synthesis of the benzamide I was given. 330942-38-6P 330942-39-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzamides as inhibitors of factor Xa) 330942-38-6 CAPLUS

RN Benzamide N- (5-bromo 2-pyridinyl) -2-[[4-(1-pyrrolidinylcarbonyl)benzoyl]a CN mino] - (9CI) (CA INDEX NAME)

330942-39-7 CAPLUS Benzamide, N-(5-chloro-2-pyridinyl)-2-[{4-(1-pyrrolidinylcarbonyl)benzoyl} amino] - (9CI) (CA INDEX NAME)

Page 57 08/18/2003

L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

TITLE: Recognition-induced control and acceleration of a pyrrole Diels-Alder reaction AUTHOR (S): Bennes, R.; Babiloni, M. S.; Hayes, W.; Philp, D. CORPORATE SOURCE: School of Chemistry, University of Birmingham, Edgbaston, Birmingham, B15 2TT, UK SOURCE: Tetrahedron Letters (2001), 42(12), 2377-2380 CODEN: TELEAY; ISSN: 0040-4039 PUBLISHER: Elsevier Science Ltd. DOCUMENT TYPE: Journal LANGUAGE: English OTHER SOURCE (S): CASREACT 135:5288 The formation of two hydrogen bonds between an amidopyridine and a carboxylic acid controls the stereochem. outcome and significantly accelerates the rate of the Diels-Alder cycloaddn. between a

2001:177305 CAPLUS

benzoylpyrrole and a maleimide. 341991-10-4P RL: SPN (Synthetic preparation); PREP (Preparation) (hydrogen bonding recognition-induced control and acceleration of pyrrole Diels-Alder reaction)

341991-10-4 CAPLUS 4,7-Imino-2H-isoindole-2-propanoic acid, 1,3,3a,4,7,7a-hexahydro-8-[3-[[methyl(3-methylphenyl)amino]carbonyl]benzoyl]-1,3-dioxo-, methyl ester, (3aR,4R,7s,7as)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ACCESSION NUMBER:

DOCUMENT NUMBER:

L4 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

135:5288

REFERENCE COUNT: THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 1999:355614 CAPLUS

DOCUMENT NUMBER: 131:31808

TITLE:

Preparation of phthalic acid diamides as agricultural and horticultural insecticides

INVENTOR(s): Tohnishi, Masanori; Nakao, Hayami; Kohno, Eiji;

Nishida, Tateki; Furuya, Takashi; Shimizu, Toshiaki; Seo, Akira; Sakata, Kazuyuki; Fujioka, Shinsuke;

Kanno, Hideo

PATENT ASSIGNEE(S): Nihon Nohyaku Co., Ltd., Japan

Eur. Pat. Appl., 237 pp. CODEN: EPXXDW SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA	PATENT NO.			KIND DATE					APPLICATION NO.					DATE			
	91954	-					0602		EP	199	8-1	2210	7	1998	1123		
EP	91954	_		A.			0412										
								FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			SI,	LT,	LV,	FI,	RO										
AU	98932	92		A	1	1999	0624		AU	199	98-9	3292		1998	1120		
υA	71242	1		B	2	1999	1104										
ZA	98106	77		A		1999	0526		ZA	199	98-1	0677		1998	1123		
CZ	29118	1		В	6	2003	0115		CZ	199	8-3	799		1998	1123		
EG	22230	1		Α		2002	1130		EG	199	8-1	466		1998	1124		
CN	12225	06		Α		1999	0714					2268		1998	_		
CN	10685	84		В		2001	0718				-		~				
JP	11240	857		A	2	1999	0907		JP	199	8-3	5076	R	1998	1125		
	98050			A			0321			-		060		1998			
PRIORITY			INFO.						P 19					1997			
OTHER SO	OURCE (s):			MAR	PAT	131:3	31808									

AB The title compds. [I; R1-R3 = H, CN, cycloalkyl, etc.; X = H, CN, NO2, etc.; n = 1-4; Y = H, halo, CN, etc.; m = 1-5; Z1, Z2 = O, S] which show excellent activities for controlling injurious insects, were prepd. Thus, reaction of 3-nitro-2-ethoxycarbonylbenzoyl chloride with 4-chloro-2-methylaniline in the presence of Et3N in THF followed by treatment of the resulting Et 6-nitro-N-(4-chloro-2methylphenyl)phthalamate with isopropylamine in dioxane afforded I [R1 = iPr; R2 = R3 = H; X = 3-NO2; Y = 2-Me-4-C1; Z1 = Z2 = 0] which showed excellent insecticidal effect (100% mortality) against diamondback moth and common cutworm.

226968-04-3P 226968-05-4P 226968-06-5P

ANSWER 11 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of phthalic acid diamides as agricultural and horticultural insecticides) 226968-04-3 CAPLUS

Benzamide, 2-(1-pyrrolidinylcarbonyl)-N-(4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

226968-05-4 CAPLUS Benzamide, N-(5-chloro-2-methylphenyl)-2-nitro-6-(1-pyrrolidinylcarbonyl)-(9CI) (CA INDEX NAME)

226968-06-5 CAPLUS Benzamide, N-[4-(difluoromethoxy)-2-methylphenyl]-2-nitro-6-(1pyrrolidinylcarbonyl) - (9CI) (CA INDEX NAME)

226971-91-1 CAPLUS

Page 58 08/18/2003

ANSWER 11 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continue Benzamide, 3-iodo-N-[2-methyl-4-(pentafluoroethyl)phenyl]-2-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME) (Continued)

ANSWER 12 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

$$\begin{array}{c|c} \text{OMe} & \text{(CH}_2)_4 - \text{Me} & \text{t-Bu} \\ \hline & \text{CH-CH}_2 - \text{C-NH} \\ \hline & \text{O} & \text{C-NHMe} \\ \hline & \text{N} \\ \end{array}$$

L4 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN 1998:779474 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 130:76175 Amide and urea derivatives as ACAT inhibitors and TITLE: antiarteriosclerotics Yoshida, Akira; Oda, Kozo; Kasai, Takashi; Shimada, INVENTOR(S): Kamio; Komina, Hiroshi; Hayakawa, Ichio; Ishihara, Sadao; Koga, Teiichiro; Kitazawa, Eiichi; Tokui, Taro Sankyo Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 127 pp. PATENT ASSIGNEE(S): SOURCE: CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND DATE APPLICATION NO. DATE PATENT NO. JP 1998-62571 19980313 JP 10316562 19981202 A2 JP 1997-61379 19970314 PRIORITY APPLN. INFO .: MARPAT 130:76175 OTHER SOURCE(S):

Amide and urea derivs. (I: R1 = alkyl: R2a, R2b, R2c, R2d = H, substituted alkyl, nitro, substituted amino, (protected) OH, alkoxyl, cyano, between R2a and R2b with -O-(CH2)m-O- (m = 1-3), alkylthio, alkylsulfinyl, alkylsulfonyl, halogen: R3 = alkyl: R4 = aminocarbonyl, aminocarbonylmethyl) and their pharmacol. acceptable salts are claimed as ACAT inhibitors and antiarteriosclerotics. The ACAT inhibitory action of I was tested, and pharmaceutical hard capsules of I were formulated. IT 189092-10-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (amide and urea derivs. as ACAT inhibitors and antiarteriosclerotics) 189092-10-2 CAPLUS Benzenepropanamide, N-[2-(1,1-dimethylethyl)-5-[(methylamino)carbonyl]phenyl]-2,4-dimethoxy-.beta.-pentyl-5-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 1998:509180 CAPLUS 129:161414 DOCUMENT NUMBER: Preparation of benzamidine derivatives as TITLE: anticoagulants Takayanagi, Masaru; Sagi, Kazuyuki; Nakagawa, Tadakiyo; Yamanashi, Masahiro; Kayahara, Takashi; INVENTOR(S): Takehana, Shunji, et al. Ajinomoto Co., Inc., Japan PATENT ASSIGNEE(5): PCT Int. Appl., 453 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE -----WO 9831661 A1 19980723 WO 1998-JP176 19980119 9831661 A1 19980723 W0 1998-JP176 19980119
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, 1D, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
9854975 A1 19980807 AU 1998-54975 19980119
731819 B2 20010405
731819 B2 20010405
731819 B2 20010405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI AU 9854975 AU 731819 R: AT, BE, CH, DE, DX, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI PRIORITY APPLN. INFO .: JP 1997-6783 A 19970117 JP 1997-194602 A 19970718 JP 1997-331887 A 19971202 WO 1998-JP176 W 19980119

MARPAT 129:161414

OTHER SOURCE(S):

AB The title compds. I [L = CH2CH2, NWCOCH2, etc.; W = H, alkyl, etc.; Y = CH:CH, CONH, etc.; Z = H, alkyl, halo, etc.; when L is CH2CH2, V is benzoyl, cinnamoyl, etc., having substituents; further details on V are given] are prepd. These compds. show anticoagulant effects based on their excellent effects of inhibiting activated blood coagulation factor X, which makes them useful as anticoagulants. In in vitro tests for the inhibition of activated blood coagulation factor X, compds. of this invention showed pIC50 values of 5.5 to 8.1.

WO 1998-JP176

Page 59 08/18/2003

ANSWER 13 OF 23 CAPLUS COPYRIGHT 2003 ACS ON STN 210961-74-3P (Continued) RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of benzamidine derivs. as anticoagulants)
210961-74-3 CAPLUS
Benzamide, N-[(1R)-1-[[3-(aminoiminomethyl)phenoxy]methyl]-2-phenylethyl]-4-(1-pyrrolidinylcarbonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 210961-73-2 CMF C28 H30 N4 O3

Absolute stereochemistry.

CM CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT:

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN alkoxy, etc.; R7 = H or alkyl; n = 0 or 1] were prepd. Thus, 2,4-(MeO) C6H3CHO was condensed with CH2(CO2Et) 2 and the BuCH2MgBr-alkylated product converted in 2 steps to 2,4-(MeO) C6H3CH(CH2Bu) CH2CO2H which was amidated by Me 3-amino-4-tertbutylbenzoate (prepn. given) to give, in 2 addnl. steps, title compd. II. Data for biol. activity of I were given. 189092-10-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(carbamoylphenyl) alkanamides and analogs as cholesterol acyltransferase inhibitors)

189092-10-2 CAPLUS

Benzenepropanamide, N-[2-(1,1-dimethylethyl)-5-[(methylamino)carbonyl]phenyl]-2,4-dimethoxy-.beta.-pentyl-5-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} & \text{(CH2)} \text{ 4-Me} & \text{t-Bu} \\ \text{CH-CH2-C-NH-} & \text{C-NHMe} \\ \text{O} & \text{C-NHMe} \\ \end{array}$$

L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 1997:317732 CAPLUS

DOCUMENT NUMBER: 126:293189

Preparation of N-(carbamoylphenyl) alkanamides and analogs as cholesterol acyltransferase inhibitors Yoshida, Akira; Oda, Kozo; Kasai, Takashi; Shimada, Kousei; Kogen, Hiroshi; Hayakawa, Ichiro; Ishihara, Sadao; Koga, Teiichiro; Kitazawa, Eiichi; Tokui, Taro Sankyo Co., Ltd., Japan Eur. Pat. Appl., 280 pp. CODEN: EPXXDW TITLE: INVENTOR (5):

PATENT ASSIGNEE (S):

SOURCE:

CODEN: EPXXDW DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

1	PATENT NO.		DATE	APPLICATION NO. DATE	L.
-	SP 763524	A1 B1	19970319	EP 1996-306781 199609)18
,		BE, CH, DE		, FR, GB, GR, IE, IT, LI, I	LU, MC, NL,
(A 2185737	AA	19970319	CA 1996-2185737 199609) 17
7	10 9603896	A	19970319	NO 1996-3896 199609	17
2	NU 9665659	A1	19970320	AU 1996-65659 199609	17
7	NU 712467	B2	19991104		
2	A 9607840	A	19970407	ZA 1996-7840 199609	}17
1	RU 2128165	C1	19990327	RU 1996-118358 199609) 17
	P 09143137	A2	19970603	JP 1996-246481 199609	18
(N 1171394	Α	19980128	CN 1996-119249 199609	18
τ	JS 5880147	A	19990309	US 1996-715589 199609	18
1	T 195724	E	20000915	AT 1996-306781 199609	18
Y	es 2151640	T3	20010101	ES 1996-306781 199609	18 -
Ţ	JS 6040339	A	20000321	US 1998-86402 199805	528
Ī	IK 1011349	A1	20010119	нк 1998-112513 199811	130
PRIOR	TY APPLN.	INFO.:		JP 1995-238042 A 199509	}1 8
		•		US 1996-715589 A3 199609	18

MARPAT 126:293189 OTHER SOURCE(S):

RCHR1CH2 (NH) nCONHZR3 [I; R = (un) substituted Ph; R1,R3 = alkyl; Z = 1,2-phenylene further substituted by AlCONR5aR5b, AlCN, A2NR7CONR5aR5b, stc.; A1 = bond or alk(en)ylene; A2 = alk(en)ylene; R5a,R5b = H, alkyl,

L4 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

1996:202914 CAPLUS ACCESSION NUMBER:

124:277963 DOCUMENT NUMBER:

Non-Peptide Cholecystokinin-B/Gastrin Receptor Antagonists Based on Bicyclic, Heteroaromatic TITLE: Skeletons

Skeletons
Kalindjian, S. Barret; Buck, Ildiko M.; Davies,
Jonathan M. R.; Dunstone, David J.; Hudson, Martin L.;
Low, Caroline M. R.; McDonald, Iain M.; Pether,
Michael J.; Steel, Katherine I. M.; et al.
James Black Foundation, London, SE24 9JE, UK
Journal of Medicinal Chemistry (1996), 39(9), 1806-15
CODEN: JMCMR; ISSN: 0022-2623 AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

and selective cholecustokinin-B/gastrin receptor A SAI antagonists based on the dibenzobicyclo[2.2.2]octane (BCO) skeleton which have recently been described were found to show species-dependent behavior when examd. in rat and dog models. We now report the discovery of compds. in which the BCO skeleton has been replaced with bicyclic, heteroarom. frameworks, such as a 5,6-disubstituted indole or benzimidazole. These new ligands maintain the affinity the affinity and selectivity profile of the previous compds, in vitro but show a much more consistent behavior pattern in vivo. Representative examples of this class of compd. have been shown to inhibit pentagastrin-stimulated acid secretion when administered i.v. at doses of 0.1 .mu.mol kg-1 or less.

167990-56-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (non-peptide cholecystokinin-B/gastrin receptor antagonists based on bicyclic, heteroarom. skeletons)

167990-56-9 CAPLUS D-Proline, 1-[[3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2naphthalenyl]carbonyl] - (9C1) (CA INDEX NAME)

Absolute stereochemistry.

Page 60 08/18/2003

L4 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 1996:25340 CAPLUS

DOCUMENT NUMBER: 124:56894

Polyimide Formation through the Palladium-Mediated TITLE: Carbonylation and Coupling of Bis (o-iodo amides) and

Diamines

Perry, Robert J.; Tunney, Scott E.; Wilson, B. David AUTHOR (S): Eastman Kodak Company, Rochester, NY, 14650-1705, USA Macromolecules (1996), 29(3), 1014-20 CORPORATE SOURCE:

SOURCE: CODEN: MAMOBX; ISSN: 0024-9297

American Chemical Society PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE:

English

Reactions between bis (o-iodo amides) and diamines in the presence of a palladium catalyst and a base at elevated (90 psig) carbon monoxide (CO) pressures give intermediate poly(amic amide) polymers which can be thermally ring-closed to the fully imidized polyimides. Model studies indicate that competing side reactions are suppressed and the intermediate o-diamide is stabilized when disopropylamides are used.

RL: SPN (Synthetic preparation); PREP (Preparation)

{model reaction product; polyimide formation through the Pd-mediated carbonylation and coupling of bis(o-iodo amides) and diamines)

171261-72-6 CAPLUS

Benzamide, N-phenyl-2-(1-pyrrolidinylcarbonyl) - (9CI) (CA INDEX NAME)

ANSWER 17 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-B

DOCUMENT NUMBER: Protein Structure-Based Design of Combinatorial TITLE: Libraries: Discovery of Non-Peptide Binding Elements to Src SH3 Domain Combs, Andrew P.; Kapoor, Tarun M.; Feng, Sibo; Chen, AUTHOR(S): James K.; Daude-Snow, Lygia F.; Schreiber, Stuart L. Howard Hughes Medical Institute, Harvard University, CORPORATE SOURCE: Cambridge, MA, 02138, USA Journal of the American Chemical Society (1996), SOURCE: 118(1), 287-8 CODEN: JACSAT: ISSN: 0002-7863 American Chemical Society PUBLISHER: Journal DOCUMENT TYPE: English LANGUAGE: An approach to the discovery of cell permeable ligands to protein receptors is reported. By examp, the 3-dimensional structures of SH3-peptide complexes detd. by multidimensional NMR, a solid phase, encoded combinatorial synthesis was rationally designed to deliver nonpeptide binding elements to the site of a key specificity-detg. pocket in SH3 domains. Fifteen ligands to the SH3 domain from the protein tyrosine kinase Src were selected from a pool of >1,000,000 spatially sepd. mols. These were resynthesized and individually analyzed for their ability to bind to the Src SH3 domain. They were shown to be among the highest affinity SH3 ligands known, and they are the first SH3 ligands to use nonpeptide binding elements. The strategy used in this study is appreciated to be amplicable to the discovery of ligands to proteins in expected to be applicable to the discovery of ligands to proteins in general in general. 173911-61-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (protein structure-based design of combinatorial libraries discovery of nonpeptide binding elements to Src SH3 domain) 173911-61-0 CAPLUS L-Prolinamide, 1-[3-[[[4-(aminomethyl)phenyl]methyl][[4-[[[3-nitro-5-[[3-[[3-(trifluoromethyl)benzoyl]amino]-1-pyrrolidinyl]carbonyl]benzoyl](4-piperidinylmethyl)amino]methyl]cyclohexyl]carbonyl]amino]-1-oxopropyl]-L-

prolyl-L-leucyl-L-prolyl-L-prolyl-L-leucyl-, trans- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

123:256711

1995:801429 CAPLUS

Preparation of gastrin and CCK receptor ligands

124:176900

1995:1005479 CAPLUS

Absolute stereochemistry.

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

ACCESSION NUMBER:

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Kalindjian, Sarkis Barret; Steel, Katherine Isobel
INVENTOR(S):
                             Mary: Pether, Michael John: Davies, Jonathan Michael
                             Richard; Low, Caroline Minli Rachel; Hudson, Martin
                             Lyn; Buck, Ildiko Maria; McDonald, Iain Mair;
                             Dunstone, David John; Tozer, Matthew John
                             James Black Foundation Ltd., UK
PATENT ASSIGNEE(5):
                             PCT Int. Appl., 124 pp.
SOURCE:
                             CODEN: PIXXD2
DOCUMENT TYPE:
                             Patent
LANGUAGE:
                             English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                         KIND DATE
                                                 APPLICATION NO. DATE
     PATENT NO.
                                                  _____
                                                 WO 1994-GB1741 19940809
      WO 9504720
                                19950216
                          A2
                          A3 19950803
      WO 9504720
          W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW,
               NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN
          RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                                 AU 1994-73478
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                          A1 19950228
      AU 682051
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      EP 720601
                          B1
                                20001025
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                                                                     19940809
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                                                 AT 1994-922318
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      ES 2152989
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                                20010216
                                                 PL 1994-312960
                                                                     19940809
      PL 181782
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                                20010928
                                                 ZA 1994-5998
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                                                 GB 1995-2503
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                                19960103
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               MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
               TM, TT
          RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
              SN, TD, TG
                                                 AU 1995-25342
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                                19951221
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                          A1
      EP 763026
                                19970319
                                                 EP 1995-919561 19950525
                          A1
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
10504525 T2 19980506 JP 1995-500493 19950525
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                                                 AT 1995-919561
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                                                 ZA 1995-4315
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     NO 9600488
                                19960315
                          Α
                                                                     19960207
                                19960207
                                                 FI 1996-572
     FI 9600572
                                                 US 1996-583008
                                                                     19960318
      US 5795907
                                19980818
                                                 US 1996-737725
                                                                     19961219
                                19990615
      US 5912260
                          А
                                                 US 1998-64849
                                                                     19980423
      US 5919829
                                19990706
                                              GB 1993-16608 A 19930810
PRIORITY APPLN. INFO .:
                                              GB 1994-10688
                                                                 A 19940527
                                                                 W 19940809
                                              WO 1994-GB1741
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L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

GB 1995-2503 A 19950209

WO 1995-GB1194 W 19950525

OTHER SOURCE(S):

MARPAT 123:256711

Title compds. [e.g. I; A = atoms to complete a bicyclic ring system; R1 = halo, NH2, cyano, OH, alkyl, CO2H, etc.; 1 of X, W = CO and the other = CO, SO, SO2; Y = NR3R4, hydrocarbyloxy, etc.; R3 = H, hydrocarbyl, etc.; R4 = H, alkyl, (un) esterified CH2CO2H; Z = OH, alkoxy, OPh, (un)substituted NH2, NHZIR, etc.; R = H, cyano, alkyl, CH2OH, CO2H, etc.; Z1 = alkylene; m = 0-6] were prepd. Thus, 4-methylphthalic anhydride was converted in 6 steps to indole-5,6-dicarboxylic anhydride which was amidated by adamantane-1-methylamine and the product amidated by (S)-3,5-(PhH2CO2C)2C6H3NHCOCH(NH2)CH2Ph (prepn. given) to give, in 2 addnl. steps, title compd. (S)-II the di-N-methyl-D-glucamine salt of which had pXi of 9.4 for binding at mouse cortex CCKB receptors in vitro. IT 167990-56-9P 167990-57-OP 167990-58-1P

II

which had pXi of 9.4 for binding at mouse cortex CCKB receptors in vitro.
167990-56-9P 167990-57-0P 167990-58-1P
167990-59-2P 167990-62-7P 167990-63-8P
167990-65-0P 167990-66-1P 167990-67-2P
167990-83-1P 167990-72-9P 167990-70-7P
167990-71-8P 167990-72-9P 167990-75-2P
167990-76-3P 167990-80-9P 167990-81-0P
167991-75-5P 167991-76-6P 167991-77-7P
167991-78-8P 167991-93-7P 167991-94-8P
167993-49-9P 167993-50-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN L-Proline, 1-[[3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167990-59-2 CAPLUS

L-Proline, 1-[[3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2-naphthalenyl]carbonyl]-, compd. with 1-deoxy-1-(methylamino)-D-glucitol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 167990-58-1 CMF C28 H32 N2 O4

Absolute stereochemistry.

CM 2

CRN 6284-40-8 CMF C7 H17 N 05

Absolute stereochemistry.

RN 167990-62-7 CAPLUS

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 167990-57-0 CAPLUS
CN D-Proline, 1-[[3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2naphthalenyl]carbonyl]-, compd. with 1-deoxy-1-(methylamino)-D-glucitol
(1:1) (9CI) (CA INDEX NAME)

ഷ 1

CRN 167990-56-9 CMF C28 H32 N2 O4

Absolute stereochemistry.

CM 2

CRN 6284-40-8 CMF C7 H17 N O5

Absolute stereochemistry.

RN 167990-58-1 CAPLUS

ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
L-Proline, 1-[[3-[[{tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2naphthalenyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167990-63-8 CAPLUS
CN D-Proline, 1-[[3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2naphthalenyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167990-65-0 CAPLUS
CN D-Proline, 1-[[3-[[methyl(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2-naphthalenyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167990-66-1 CAPLUS

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ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continue D-Proline, 1-[[3-[[methyl(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2-naphthalenyl]carbonyl]-, compd. with 1-deoxy-1-(methylamino)-D-glucitol (1:1) (9CI) (CA INDEX NAME) (Continued)

CM 1

CRN 167990-65-0 CMF C29 H34 N2 O4

Absolute stereochemistry.

CM 2

CRN 6284-40-8 CMF C7 H17 N O5

Absolute stereochemistry.

167990-67-2 CAPLUS D-Alanine, $N-[1-[(3-\{(tricyclo(3.3.1.13,7)dec-1-ylmethyl)amino]carbonyl)-$ 2-naphthalenyl]carbonyl]-D-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

167990-70-7 CAPLUS

L-Alanine, N-[1-[[3-[[methyl(tricyclo{3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2-naphthalenyl]carbonyl]-D-prolyl]-, compd. with 1-deoxy-1-(methylamino)-D-glucitol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 167990-69-4 CMF C32 H39 N3 O5

Absolute stereochemistry.

CM 2

CRN 6284-40-8 CMF C7 H17 N O5

Absolute stereochemistry.

RN 167990-71-8 CAPLUS

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

167990-68-3 CAPLUS Glycine, N-{1-[[3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2-naphthalenyl]carbonyl]-D-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

167990-69-4 CAPLUS
L-Alanine, N-[1-[[3-[[methyl(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2-naphthalenyl]carbonyl]-D-prolyl]- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) Glycine, N-[1-[[3-[[methyl(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2-naphthalenyl]carbonyl]-D-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

167990-72-9 CAPLUS Glycine, N-[1-[[3-[[methyl(tricyclo[3.3.1.13,7]dec-1ylmethyl)amino]carbonyl]-2-naphthalenyl]carbonyl]-D-prolyl]-, compd. with 1-deoxy-1-(methylamino)-D-glucitol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 167990-71-8 C31 H37 N3 O5 CMF

Absolute stereochemistry,

CM 2

CRN 6284-40-8 CMF C7 H17 N O5

Absolute stereochemistry.

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

167990-75-2 CAPLUS D-Alanine, N-[[1-[[3-[[(tricyclo[3.3.1.13,7]dec-l-ylmethyl)amino]carbonyl]-2-naphthalenyl]carbonyl]-2-pyrrolidinyl}acetyl]-, (S)- (9CI) (CA INDEX

Absolute stereochemistry.

167990-76-3 CAPLUS D-Alanine, N-[1-[[3-[[(tricyclo{3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2-naphthalenyl]carbonyl]-L-prolyl]-, compd. with 1-deoxy-1-(methylamino)-D-glucitol (1:1) (9CI) (CA INDEX NAME)

CM

CRN 167990-75-2 CMF C32 H39 N3 O5

Absolute stereochemistry.

ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2

CRN 6284-40-8 CMF C7 H17 N O5

Absolute stereochemistry.

167991-75-5 CAPLUS
Glycine, N-[1-[[6-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-1H-benzimidazol-5-yl]carbonyl]-D-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

167991-76-6 CAPLUS Glycine, N-[1-[[6-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-lH-benzimidazol-5-yl]carbonyl]-D-prolyl]-, compd. with 1-deoxy-1-(methylamino)-D-glucitol (1:1) (9CI) (CA INDEX NAME) CN

CM 1

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2

CRN 6284-40-8 CMF C7 H17 N O5

Absolute stereochemistry.

167990-80-9 CAPLUS D-Proline, 1-[4,5-dichloro-2-{2-oxo-2-[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino}ethyl}benzoyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

167990-81-0 CAPLUS
D-Proline, 1-[4,5-dichloro-2-[2-oxo-2-[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]ethyl]benzoyl]-, compd. with 1-deoxy-1-(methylamino)-D-glucitol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 167990-80-9 CMF C25 H30 C12 N2 O4

Absolute stereochemistry.

ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) CRN 167991-75-5 CMF C27 H33 N5 O5

Absolute stereochemistry.

CM

CRN 6284-40-8 CMF C7 H17 N 05

Absolute stereochemistry.

167991-77-7 CAPLUS 1,3-Benzenedicarboxylic acid, 5-[[[1-[[6-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-1H-benzimidazol-5-yl]carbonyl]-2-pyrrolidinyl]carbonyl]amino]-, (5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

167991-78-8 CAPLUS

D-Glucitol, 1-deoxy-1-(methylamino)-, (S)-5-[[[1-[[6-

Page 64 08/18/2003

ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
[[(tricyclo{3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-1H-benzimidazol-5yl]carbonyl]-2-pyrrolidinyl]carbonyl]amino]-1,3-benzenedicarboxylate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 167991-77-7 CMF C33 H35 N5 07

Absolute stereochemistry.

CRN 6284-40-8

CMF C7 H17 N O5

Absolute stereochemistry.

167991-93-7 CAPLUS D-Alanine, N-[1-([6-([(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-1)1H-indol-5-yl]carbonyl]-D-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

167993-49-9 CAPLUS

L-Alanine, N-[1-[[5-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-1H-indol-6-yl]carbonyl]-D-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

167993-50-2 CAPLUS

L-Alanine, N-[1-[[5-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-1H-indol-6-yl]carbonyl]-D-prolyl]-, compd. with 1-deoxy-1-(methylamino)-D-glucitol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 167993-49-9 CMF C29 H36 N4 O5

Absolute stereochemistry.

CM 2

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

167991-94-8 CAPLUS

D-Alanine, N-[1-[[6-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-1H-indol-5-yl]carbonyl]-D-prolyl}-, compd. with 1-deoxy-1-(methylamino)-D-glucitol (1:1) (9CI) (CA INDEX NAME) CN

CM 1

CRN 167991-93-7

CMF C29 H36 N4 O5

Absolute stereochemistry.

CM

CRN 6284-40-8 CMF C7 H17 N O5

Absolute stereochemistry.

ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) CRN 6284-40-8 CMF C7 H17 N O5

Absolute stereochemistry.

IT 167992-78-1P 167992-79-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(prepn. of gastrin and CCK receptor ligands)

167992-78-1 CAPLUS

D-Proline, 1-[[3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-2naphthalenyl]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

167992-79-2 CAPLUS RN

D-Alanine, N-[1-[[3-[[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]carbonyl]-CN 2-naphthalenyl]carbonyl]-D-prolyl]-, phenylmethyl ester (9CI) (CA INDEX

Absolute stereochemistry.

Page 65 08/18/2003

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

ANSWER 19 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) (2S)-1-(tert-butoxycarbonyl)-2-[2-(4-chlorophenoxy)-1hydroxyethyl]pyrrolidine. The latter compd. was deprotected with 4N HCl in 1,4-dioxane and then condensed with benzyl N-(3-phenylpropionyl)-Lprolinate (prepn. given) using 1-ethyl-2-(3-diethylaminopropyl) carbodiimid e, hydroxybenzotriazole, and N-methylmorpholine in DMF to give (2S)-2-[2-(4-chlorophenoxy)-1-hydroxyethyl]-1-[N-(3-phenylpropionyl)-L-(2S)-2-[2-(4-chlorophenoxy)-1-hydroxyethyl]-1-[N-(3-phenylpropionyl)-L-(3-phenylpropionylpropionyl)-L-(3-phenylpropionylpropiprolyl]pyrrolidine which was oxidized with SO3-pyridine complex in DMSO to give (2S)-2-(4-chlorophenoxyacetyl)-1-[N-(3-phenylpropionyl)-L-prolyl]pyrrolidine (II). II at 1 .mu.M and (2S)-1-[N-(4-fluorophenoxyacetyl)pyrrolidine at 0.1 .mu.M inhibited 99% prolyl endopeptidase and did not inhibit trypsin, chymotrypsin, leucine aminopeptidase, elastase, and cathepsin. 153451-27-5P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as intermediate for prolyl endopeptidase inhibitor)

153451-27-5 CAPLUS Benzamide, 2-[[2-(1-hydroxy-2-phenoxyethyl)-1-pyrrolidinyl]carbonyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME) CN

153451-03-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of, as prolyl endopeptidase inhibitor) 153451-03-7 CAPLUS Benzamide, 2-[[2-(phenoxyacetyl)-1-pyrrolidinyl]carbonyl]-N-(phenylmethyl)-, (S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

1994:164907 CAPLUS ACCESSION NUMBER:

120:164907 DOCUMENT NUMBER:

Preparation of proline containing peptide analogs as TITLE: specific inhibitors of prolyl endopeptidase Kobayashi, Koji; Nishii, Kazuhiko; Iwata, Kunio; INVENTOR(S):

Uchida, Itsuro

Nippon Tobacco Sangyo, Japan: Yoshitomi Pharmaceutical Jpn. Kokai Tokkyo Koho, 21 pp. PATENT ASSIGNEE(S):

SOURCE: CODEN: JKXXAF

Patent DOCUMENT TYPE:

Japanese LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

KIND DATE APPLICATION NO. PATENT NO. DATE JP 05201970 19930810 JP 1992-50136 19920124 A2 PRIORITY APPLN. INFO.: JP 1992-50136 19920124 MARPAT 120:164907 OTHER SOURCE(S):

$$Q=$$
 N
 $Q^{1}=$
 N
 $Q^{2}=$
 N
 N

$$Q^{3} = -(CH_2)_1 - (CH_2)_1$$

Title compds. [I: A = O, NH, CONH, single bond; B = Q-Q2, (CH2)k, NHCHR1; k=1-3; R1=H, lower alkyl; $W=2-0\times0-1$ -pyrrolidinyl, R2C6H4; R2=H, halo, lower alkyl; X=S, SO, SO2, O, NH; R=Q3, lower alkyl; 1=0-3; Y, Z=H, halo, lower (fluoro) alkyl, NH2, NO2, OH, lower alkoxy; or YZ may form (un) satd. 5- or 6-membered ring; n = 1-6 are prepd. I specifically inhibit decompn. and inactivation of proline-contg. brain hormones and neurotransmitters (TSH-releasing hormone, substance P, neurotensin, and vasopressin) and thus are useful for the improvement of said hormone- and neurotransmitters-related diseases and for the prevention and treatment of dementia and amnesia including Alzheimer's disease, directly acting on the core symptoms of dementia. Thus, NaH was stirred with DMSO at 70.degree. and mixt. was dild. with THF, cooled to -5.degree., and then treated with a soln. of Me3SI in DMSO followed by a soln. of N-tert-butoxycarbonyl-Lprolinal in THF to give, after reacting at 0.degree. for 1 h, (2S)-1-(tert-butoxycarbonyl)-2-(1,2-epoxyethyl)pyrrolidine. The latter compd. was refluxed with 4-chlorophenol in MeCH contg. NaOMe to give

L4 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN 1992:633813 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

117:233813

Exploratory studies of .alpha.-silylamino- and TITLE: .alpha.-silylamido-2,5-cyclohexadien-1-one SET

photochemistry. Methodology for synthesis of functionalized hydroisoquinolines

Jung, Young Shik; Swartz, William H.; Xu, Wei; AUTHOR(S): Mariano, Patrick S.; Green, Neal J.; Schultz, Arthur

Dep. Chem. Biochem., Univ. Maryland, College Park, MD, CORPORATE SOURCE:

Journal of Organic Chemistry (1992), 57(22), 6037-47 SOURCE:

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 117:233813

The electron-transfer (SET) photochem. of selected .alpha.-silylamino and .alpha.-silylamido 2,5-cyclohexadienones, e.g., I, has been explored with the intent of developing a novel and potentially efficient method for functionalized hydroisoquinoline synthesis. These substances, prepd. by Birch redn.-alkylation-oxidn. sequences, were found to undergo 9,10-dicyanoanthracene-SET-sensitized radical cyclization to form hydroisoquinolines, e.g., II, in a highly regio- and stereoselective fashion and in modest to good yields. In contrast, the major direct irradn. reaction pathway followed by the .alpha.-silylamido-substituted systems involves type A rearrangement to bicyclic cyclohexenones or phenols. Direct irradn. of the .alpha.-silylamino analogs, on the other hand, brings about near-exclusive conversion to the corresponding hydroisoquinolines. The synthetic and mechanistic features of this study are described.

143925-63-7P 143925-64-8P RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

143925-63-7 CAPLUS Benzeneacetamide, 5-hydroxy-N-(phenylmethyl)-2-(1-pyrrolidinylcarbonyl)-N-[(trimethylsilyl)methyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

143925-64-8 CAPLUS Benzeneacetamide, 3-hydroxy-N-(phenylmethyl)-2-(1-pyrrolidinylcarbonyl)-N-[(trimethylsilyl)methyl] - (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued) Absolute stereochemistry.

140486-12-0 CAPLUS Benzamide, 2-[(2-formyl-1-pyrrolidinyl)carbonyl]-N-(phenylmethyl)-, (5)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN 1992:194147 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 116:194147

Preparation of 1-aroylpyrrolidine-2-carboxaldehydes TITLE: and analogs as psychoanaleptic agents

Faraci, W. Stephen; Nagel, Arthur A.; Spencer, Robin INVENTOR (S):

W.; Vinick, Fredic J. PATENT ASSIGNEE(S): Pfizer Inc., USA

PCT Int. Appl., 60 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE PATENT NO.

APPLICATION NO. DATE wo 1991-US3390 19910515 WO 9118891 A1 19911212 W: CA, FI, JP, US

RW: AT, BE, CH, DE, EK, ES, FR, GB, GR, IT, LU, NL, SE 536163 A1 19930414 EP 1991-910005 19910515 EP 536163 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE JP 05506442 T2 19930922 JP 1991-509445 19910515

19960703 JP 2511605 B2 US 1996-592221 US 1990-532534 WO 1991-US3390 19960126 US 5847155 Α 19981208

PRIORITY APPLN. INFO .: 19900604 19910515 US 1993-960374 19930127

MARPAT 116:194147 OTHER SOURCE(S):

AB Title compds. [I; X = S, (CH2)n; Y = H, CHO, COCF3, hydroxyalkyl, etc.; Z = 1-fluorenonyl, 2-(1-naphthoyl)phenyl, 2-aroylphenyl, 2-carbamoylcyclopent(en)yl, etc.; n = 1, 2] were prepd. as prolyl endopeptidase inhibitors (no data). Thus, independence with ClCO2CH2Ph and the product condensed with

(S)-(+)-pyrrolidinemethanol to give after oxidn., title compd. II. 140486-11-9P 140486-12-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as psychoanaleptic agent)

140486-11-9 CAPLUS Benzamide, 2-[[2-(hydroxymethyl)-1-pyrrolidinyl]carbonyl]-N-(phenylmethyl)-, (S) - (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN

1991:514208 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 115:114208

Scope of phthalimido chemistry. I. Extension of TITLE: utility by conversion to the OPCB protecting group Astleford, Bret; Weigel, Leland O. Chem. Process Res. Dev. Div., Lilly Res. Lab., AUTHOR (S):

CORPORATE SOURCE: Indianapolis, IN, 46285, USA Tetrahedron Letters (1991), 32(28), 3301-4 SOURCE:

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English

The susceptibility of the phthalimido protecting group to basic and nucleophilic conditions has been overcome by conversion to o-pyrrolidinocarbonylbenzamides (OPCBs). Thus, reaction of phthalimides, e.g. I, with pyrrolidine in THF gave 83-98% OPCBs, e.g. II. The OPCBs are stable to non-equilibrating basic and mild acidic conditions. The OPCB group can be either cleaved to give the amine or converted back to the

135382-78-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and intramol. cyclocondensation of, phthalimide from) 135382-78-4 CAPLUS

Benzamide, N-(phenylmethyl)-2-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX

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L4 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2003 ACS ON STN ACCESSION NUMBER: 1984:191959 CAPLUS

DOCUMENT NUMBER:

100:191959

TITLE:

Organophosphorus compounds. XIX. Synthesis of 2,3-dihydro-1H-1,2-benzazaphosphole 2-oxides, variously substituted on nitrogen and phosphorus, by nitrogen-phosphorus cyclization of zwitterionic intermediates

AUTHOR(S):

CORPORATE SOURCE: SOURCE:

Collins, David J.; Drygala, Peter F.; Swan, John M. Dep. Chem., Monash Univ., Clayton, 3168, Australia Australian Journal of Chemistry (1983), 36(12),

2517-36 CODEN: AJCHAS; ISSN: 0004-9425 Journal

DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S):

English CASREACT 100:191959

AB 2-Phenyl-2,3-dihydro-1H-1,2-benzazaphosphole 2-oxide (I) R = H) was prepd. by thermolysis of the corresponding zwitterionic aminophosphinic acid (II) or II.HCl. Thermolysis of Me or Et (2-aminobenzyl)phenylphosphinate was accompanied by intermol. O .fwdarw. N transalkylation to give, after cyclization, I (R = Me, Et, resp.). Reaction of 2-phthalimidobenzyl bromide with MeP(OEt)2 gave Et (2-phthalimidobenzyl)methylphosphinate (III). Hydrolysis of III afforded (2-aminobenzyl)methylphosphinic acid, and thermolysis of this produced 2-methyl-2,3-dihydro-1H-1,2-benzazaphosphole 2-oxide (IV). 1-Methyl-2-methoxy-2,3-dihydro-1H-1,2benzazaphosphole 2-oxide (IV). 1-Methyl-2-methoxy-2,3-dihydro-lH-1,2-benzazaphosphole 2-oxide was synthesized analogously. Base-catalyzed N-alkylation of the benzazaphosphole derivs. I (R=H) and IV was readily achieved, and the interconversion of 2-oxides and 2-sulfides was accomplished by conventional methods.

90043-17-7P

RL: SPN (Synthetic preparation): PREP (Preparation)

(prepn. of) 90043-17-7 CAPLUS

Phosphinic acid, phenyl([2-[[2-(1-pyrrolidinylcarbonyl)benzoyl]amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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=> log y		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	105.58	255.83
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-14.97	-14.97

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18 19
      13
         14
            15 16 17
ring nodes :
   1 2 3 4
                        10
                            11
                                12
                   8 9
              5
chain bonds :
   6-14 7-8 7-13 14-15 15-16 15-19 16-17 17-18
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12
exact/norm bonds :
   7-8 7-13 8-9 8-12 9-10 10-11 11-12 15-16 15-19 16-17
exact bonds :
   6-14 14-15 17-18
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
Match level:
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom
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17:CLASS 18:Atom 19:CLASS 24:CLASS

10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS

chain nodes :